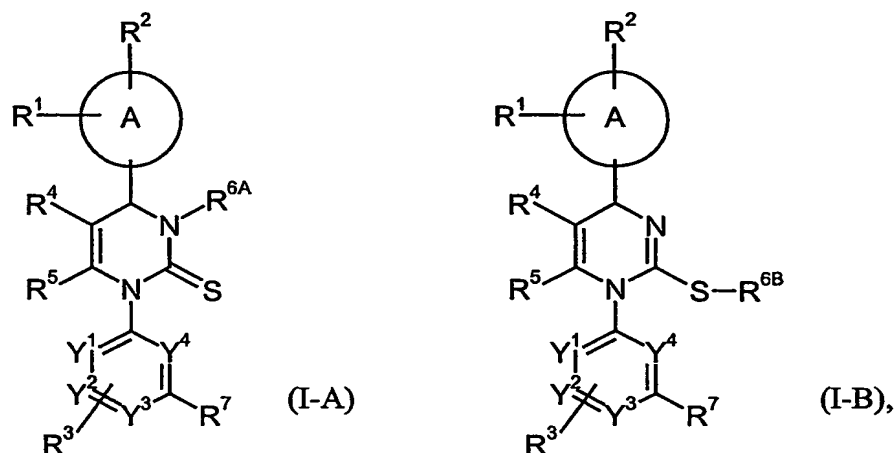


**We claim**

1. Compounds of the general formulas (I-A) and (I-B)



wherein

A represents an aryl or heteroaryl ring,

$R^1$ ,  $R^2$  and  $R^3$  independently from each other represent hydrogen, halogen, nitro, cyano,  $C_1$ - $C_6$ -alkyl, hydroxy or  $C_1$ - $C_6$ -alkoxy, wherein  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_6$ -alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and  $C_1$ - $C_4$ -alkoxy,

$R^4$  represents  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $C_1$ - $C_4$ -alkylaminocarbonyl,  $C_6$ - $C_{10}$ -arylaminocarbonyl, heteroarylcarbonyl, heterocyclcarbonyl, heteroaryl, heterocycl or cyano, wherein  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -alkylcarbonyl,  $C_1$ - $C_6$ -alkoxycarbonyl, mono- and di- $C_1$ - $C_4$ -alkylaminocarbonyl can be further substituted with one to three identical or different radicals selected from the group consisting of  $C_3$ - $C_8$ -cycloalkyl, hydroxy,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkoxycarbonyl,

hydroxycarbonyl, aminocarbonyl, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonylamino, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, heteroaryl, heterocyclyl, tri-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-silyl and cyano,

- 5           R<sup>5</sup>       represents C<sub>1</sub>-C<sub>4</sub>-alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenoxo, C<sub>1</sub>-C<sub>6</sub>-alkylthio, amino, mono- and di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, arylamino, hydroxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl and the radical -O-C<sub>1</sub>-C<sub>4</sub>-alkyl-O-C<sub>1</sub>-C<sub>4</sub>-alkyl,
- 10           R<sup>6A</sup>       represents hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, mono- or di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, wherein C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl can be substituted with one to three
- 15           identical or different radicals selected from the group consisting of C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino,
- 20           R<sup>6B</sup>       represents C<sub>1</sub>-C<sub>6</sub>-alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyloxy, amino-carbonyloxy, cyano, aryl, heteroaryl and heterocyclyl, wherein
- 25           heteroaryl and heterocyclyl can be further substituted with one to two identical or different radicals selected from the group consisting of C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxy and oxo,
- 30           R<sup>7</sup>       represents halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy or C<sub>1</sub>-C<sub>6</sub>-alkoxy, wherein C<sub>1</sub>-C<sub>6</sub>-alkyl and C<sub>1</sub>-C<sub>6</sub>-alkoxy can be further sub-

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stituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C<sub>1</sub>-C<sub>4</sub>-alkoxy,

and

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Y<sup>1</sup>, Y<sup>2</sup>, Y<sup>3</sup> and Y<sup>4</sup> independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms.

10

2. Compounds of general formulas (I-A) and (I-B) according to Claim 1, wherein

A represents an aryl or heteroaryl ring,

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R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> independently from each other represent hydrogen, halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy or C<sub>1</sub>-C<sub>6</sub>-alkoxy, wherein C<sub>1</sub>-C<sub>6</sub>-alkyl and C<sub>1</sub>-C<sub>6</sub>-alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C<sub>1</sub>-C<sub>4</sub>-alkoxy,

20

R<sup>4</sup> represents C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, C<sub>6</sub>-C<sub>10</sub>-arylaminocarbonyl, heteroarylcarbonyl, heterocyclylcarbonyl, heteroaryl, heterocyclyl or cyano, wherein C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl can be further substituted with one to three identical or different radicals selected from the group consisting of C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonylamino, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, heteroaryl, heterocyclyl and tri-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-silyl,

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R<sup>5</sup> represents C<sub>1</sub>-C<sub>4</sub>-alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>2</sub>-C<sub>6</sub>-alkenoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, amino, mono- and di-C<sub>1</sub>-C<sub>6</sub>-alkylamino, arylamino, hydroxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl and the radical -O-C<sub>1</sub>-C<sub>4</sub>-alkyl-O-C<sub>1</sub>-C<sub>4</sub>-alkyl,

R<sup>6A</sup> represents hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, mono- or di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl, wherein C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl can be substituted with one to three identical or different radicals selected from the group consisting of C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino,

R<sup>6B</sup> represents C<sub>1</sub>-C<sub>6</sub>-alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, aryl, heteroaryl and heterocyclyl,

R<sup>7</sup> represents halogen, nitro, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy or C<sub>1</sub>-C<sub>6</sub>-alkoxy, wherein C<sub>1</sub>-C<sub>6</sub>-alkyl and C<sub>1</sub>-C<sub>6</sub>-alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C<sub>1</sub>-C<sub>4</sub>-alkoxy,

and

Y<sup>1</sup>, Y<sup>2</sup>, Y<sup>3</sup> and Y<sup>4</sup> independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms.

3. Compounds of general formulas (I-A) and (I-B) according to Claim 1 or 2, wherein

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A represents a phenyl or pyridyl ring,

5 R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> independently from each other represent hydrogen, fluoro, chloro, bromo, nitro, cyano, methyl, ethyl, trifluoromethyl or trifluoromethoxy,

10 R<sup>4</sup> represents C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl or cyano, wherein C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl and mono-C<sub>1</sub>-C<sub>4</sub>-alkylaminocarbonyl can be substituted with one to three identical or different radicals selected from the group consisting of C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, amino, mono- or di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, heteroaryl and heterocyclyl,

15 R<sup>5</sup> represents methyl or ethyl,

20 R<sup>6A</sup> represents hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl or C<sub>3</sub>-C<sub>6</sub>-cycloalkylcarbonyl, wherein C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl can be substituted with a radical selected from the group consisting of C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino,

25 R<sup>6B</sup> represents C<sub>1</sub>-C<sub>6</sub>-alkyl, which can be substituted with a radical selected from the group consisting of hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, amino, mono- and di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, phenyl, heteroaryl and heterocyclyl,

R<sup>7</sup> represents halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, methyl or ethyl,

30 and

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$Y^1$ ,  $Y^2$ ,  $Y^3$  and  $Y^4$  each represent CH.

4. Compounds of general formulas (I-A) and (I-B) according to Claim 1, 2 or 3, wherein

5       A       represents a phenyl or a pyridyl ring,

$R^1$  and  $R^3$  each represent hydrogen,

10        $R^2$        represents fluoro, chloro, bromo, nitro or cyano,

15        $R^4$        represents  $C_1$ - $C_4$ -alkylcarbonyl or  $C_1$ - $C_4$ -alkoxycarbonyl, wherein  $C_1$ - $C_4$ -alkoxycarbonyl can be substituted with a radical selected from the group consisting of hydroxy,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkoxycarbonyl, mono- and di- $C_1$ - $C_4$ -alkylamino, heteroaryl and heterocyclyl,

$R^5$        represents methyl,

20        $R^{6A}$        represents hydrogen,  $C_1$ - $C_6$ -alkylcarbonyl or  $C_3$ - $C_6$ -cycloalkylcarbonyl,

25        $R^{6B}$        represents  $C_1$ - $C_4$ -alkyl, which can be substituted with a radical selected from the group consisting of hydroxy,  $C_1$ - $C_4$ -alkoxy, amino, di- $C_1$ - $C_4$ -alkylamino, phenyl, pyridyl, imidazolyl, pyrrolidino and morpholino,

$R^7$        represents trifluoromethyl or nitro,

and

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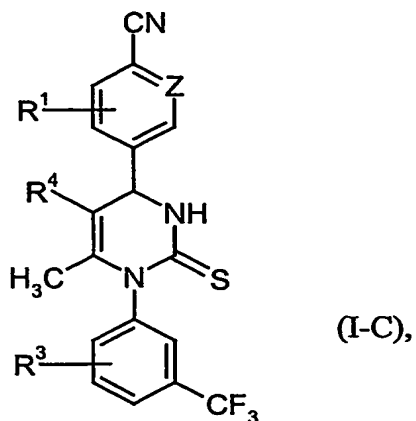
$Y^1$ ,  $Y^2$ ,  $Y^3$  and  $Y^4$  each represent CH.

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5. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 4, wherein A is phenyl or pyridyl.
- 5 6. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 5, wherein R<sup>1</sup> is hydrogen.
7. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 6, wherein R<sup>2</sup> is cyano.
- 10 8. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 7, wherein R<sup>3</sup> is hydrogen.
- 15 9. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 8, wherein R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub>-alkoxycarbonyl, which can be substituted with dimethylamino, diethylamino, N-ethylmethylamino, pyrrolidino or piperidino, or wherein R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl.
- 20 10. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 9, wherein R<sup>5</sup> is methyl.
11. Compounds of general formulas (I-A) and (I-B) according to at least one of Claims 1 to 10, wherein R<sup>7</sup> is trifluoromethyl or nitro.
- 25 12. Compounds of general formula (I-A) according to at least one of Claims 1 to 11, wherein R<sup>6A</sup> is hydrogen.
13. Compounds of general formula (I-B) according to at least one of Claims 1 to 11, wherein R<sup>6B</sup> is methyl, (1H-imidazol-2-yl)methyl, 2-(diethylamino)ethyl, 2-hydroxyethyl, 3-hydroxypropyl and 2-(1-pyrrolidinyl)ethyl.
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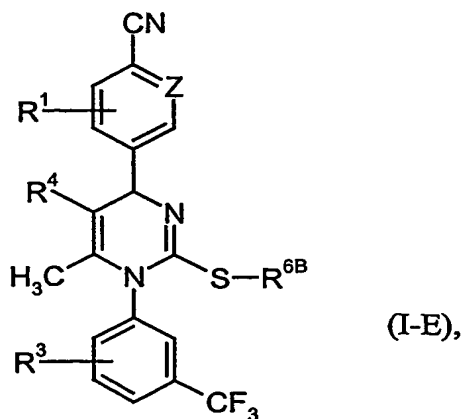
## 14. Compounds of general formula (I-C)



wherein

Z represents CH or N, and R<sup>1</sup>, R<sup>3</sup> and R<sup>4</sup> have the meaning indicated in Claims 1 to 12.

## 15. Compounds of general formula (I-E)



wherein

Z represents CH or N,

R<sup>1</sup>, R<sup>3</sup> and R<sup>4</sup> have the meaning indicated above, and

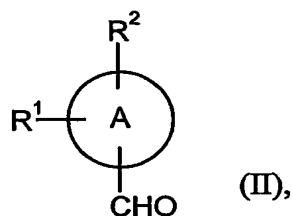


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$R^{6B}$  represents  $C_1$ - $C_4$ -alkyl, which can be substituted with a radical selected from the group consisting of hydroxy, di- $C_1$ - $C_4$ -alkylamino, phenyl, pyridyl, imidazolyl, pyrrolidino and morpholino.

5

16. Process for synthesizing the compounds of general formulas (I-A), (I-B), (I-C) or (I-E), respectively, as defined in Claims 1 to 15, by condensing compounds of general formula (II)

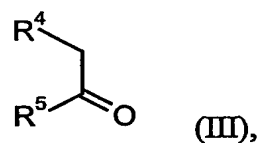


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wherein A,  $R^1$  and  $R^2$  have the meaning indicated in Claims 1 to 15,

with compounds of general formula (III)

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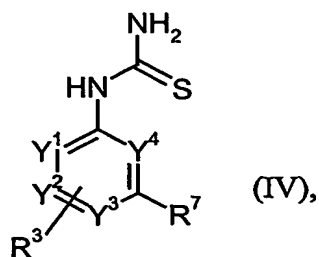


wherein  $R^4$  and  $R^5$  have the meaning indicated in Claims 1 to 15,

20

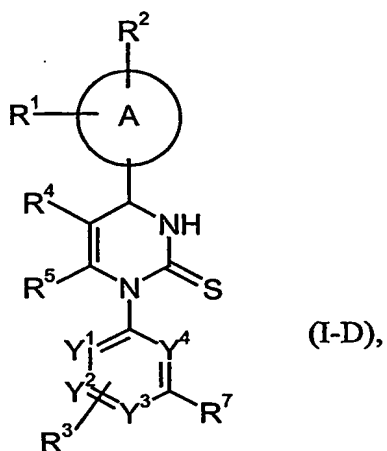
and compounds of general formula (IV)

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wherein  $R^3$ ,  $R^7$ , and  $Y^1$  to  $Y^4$  have the meaning indicated in Claims 1 to 15,

5 in the presence of an acid either in a three-component / one-step reaction or sequentially to give compounds of the general formula (I-D)



wherein

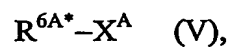
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$A$ ,  $R^1$  to  $R^5$ ,  $R^7$ , and  $Y^1$  to  $Y^4$  have the meaning indicated in Claims 1 to 15,

optionally followed by reaction of the compounds of general formula (I-D) in the presence of a base either

15

[A] with compounds of the general formula (V)



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wherein  $R^{6A*}$  has the meaning of  $R^{6A}$  as indicated in Claims 1 to 15, but does not represent hydrogen, and  $X^A$  represents a leaving group, such as halogen,

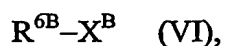
5

to give compounds of the general formula (I-A) or (I-C), respectively,

or

10

[B] with compounds of the general formula (VI)



15

wherein  $R^{6B}$  has the meaning indicated in Claims 1 to 15, and  $X^B$  represents a leaving group, such as halogen, tosylate, mesylate or sulfate,

to give compounds of the general formula (I-B) or (I-E), respectively.

20

17. The composition containing at least one compound of general formula (I-A) or (I-C), as defined in Claims 1 to 12 and 14, and a pharmacologically acceptable diluent.

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18. A composition according to Claim 17 for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.

30

19. The process for the preparation of compositions according to Claim 17 and 18 characterized in that the compounds of general formula (I-A) or (I-C), as defined in Claims 1 to 12 and 14, together with customary auxiliaries are brought into a suitable application form.

20. Use of the compounds of general formula (I-A) or (I-C), as defined in Claims 1 to 12 and 14, for the preparation of medicaments.

5 21. Use according to Claim 20 for the preparation of medicaments for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.

10 22. Use according to Claim 21, wherein the process is chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure.

15 23. The composition containing at least one compound of general formula (I-B) or (I-E), as defined in Claims 1 to 11, 13 and 15, and a pharmacologically acceptable diluent.

24. A composition according to Claim 23 for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.

20 25. The process for the preparation of compositions according to Claim 23 and 24 characterized in that the compounds of general formula (I-B) or (I-E), as defined in Claims 1 to 11, 13 and 15, together with customary auxiliaries are brought into a suitable application form.

25 26. Use of the compounds of general formula (I-B) or (I-E), as defined in Claims 1 to 11, 13 and 15, for the preparation of medicaments.

30 27. Use according to Claim 26 for the preparation of medicaments for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.

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28. Use according to Claim 27, wherein the process is chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure.
- 5 29. Process for controlling chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure in humans and animals by administration of a neutrophil elastase inhibitory amount of at least one compound according to any of Claims 1 to 15.